IN THE UNITED STATES PATENT & TRADEMARK OFFICE

In re Application of
BLASCO et al
Serial No. 10/589,876
Filed: March 3, 2005 as PCT international application
For: 5,6-Dialkyl-7-aminotriazolopyrimidines, method for their production, their use for controlling pathogenic fungi, and agents containing said compounds

DECLARATION

I, Egon Haden, Dr. agr., a citizen of the Federal Republic of Germany and residing at Bayernstrasse 55, 67061 Ludwigshafen, Germany, hereby declare as follows:

I am fully trained agricultural engineer, having studied agricultural science at the Technical University of Stuttgart - Hohenheim, Germany, from 1975 to 1980;

From 1980 to 1985 I furthered my studies at the Institute of Plant Disease of the University of Hohenheim, and I was awarded my doctor's degree by the said university in 1985;

I joined BASF Aktiengesellschaft (now BASF SE) of 67056 Ludwigshafen, Germany, in 1984, and have since been working in the field of the characterization and screening of fungicidal substances, and am therefore fully conversant with the technical field to which the invention disclosed and claimed in application Serial No. 10/589,876 belongs.

The tests were carried out under my supervision in accordance with the instructions given in the specification of Appln. Ser. No. 10/589,876 or as described below.

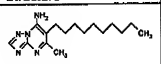
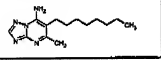
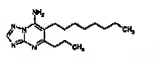
I. Comparative trials for US 10/589,876 vs. EP-A 141 317 (US 4,617,303 = D1)

I. Microteter tests

The active compounds were formulated separately as a stock solution having a concentration of 10000 ppm in dimethyl sulfoxide.

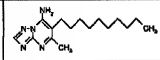
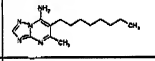
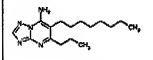
Example 4 - Activity against the soybean pathogen *Septoria glycines*

The stock solutions were mixed according to the ratio, pipetted onto a micro titer plate (MTP) and diluted with water to the stated concentrations. A spore suspension of Septoria glycines in an aqueous biomalt solution was then added. The plates were placed in a water vapor-saturated chamber at a temperature of 18°C. Using an absorption photometer, the MTPs were measured at 405 nm 7 days after the inoculation.
The measured parameters were compared to the growth of the active compound-free control variant (100%) and the fungus-free and active compound-free blank value to determine the relative growth in % of the pathogens in the respective active compounds.

Compound	Structure	Appln. Rate [ppm]	Fungal growth [%]
# 28 (acc. to D1 = US 4,617,303)		125	76
# 4 (acc. to D1 = US 4,617,303)		125	100
Tab. I; # I-2 acc. to to current inv. US 10/589,876 **(elected species)**		**125**	6

Example 5 - Activity against the wheat pathogen *Septoria tritici* causing leaf blotch

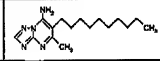
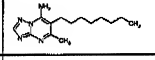
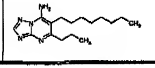
The stock solutions were mixed according to the ratio, pipetted onto a micro titer plate (MTP) and diluted with water to the stated concentrations. A spore suspension of Septoria tritici in an aqueous biomalt solution was then added. The plates were placed in a water vapor-saturated chamber at a temperature of 18°C. Using an absorption photometer, the MTPs were measured at 405 nm 7 days after the inoculation.
The measured parameters were compared to the growth of the active compound-free control variant (100%) and the fungus-free and active compound-free blank value to determine the relative growth in % of the pathogens in the respective active compounds.

Compound	Structure	Appln. Rate [ppm]	Fungal growth [%]
# 28 (acc. to D1 = US 4,617,303)		125	86
# 4 (acc. to D1 = US 4,617,303)		125	100
Tab. I; # I-2 acc. to to current inv. US 10/589,876 **(elected species)**		**125**	**54**

Example 6 - Activity against the barley pathogen *Pyrenophora teres*

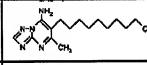
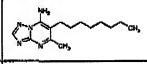
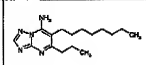
The stock solutions were mixed according to the ratio, pipetted onto a micro titer plate (MTP) and diluted with water to the stated concentrations. A spore suspension of Pyrenophora teres in an aqueous biomalt solution was then added. The plates were placed in a water vapor-saturated chamber at a temperature of 18°C. Using an absorption photometer, the MTPs were measured at 405 nm 7 days after the inoculation.

The measured parameters were compared to the growth of the active compound-free control variant (100%) and the fungus-free and active compound-free blank value to determine the relative growth in % of the pathogens in the respective active compounds.

Compound	Structure	Appln. Rate [ppm]	Fungal growth [%]
# 28 (acc. to D1 = US 4,617,303)		125	82
# 4 (acc. to D1 = US 4,617,303)		125	77
Tab. I; # I-2 acc. to to current inv. US 10/589,876 **(elected species)**		**125**	**38**

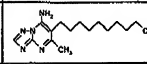
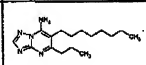
Example 7 - Activity against the grey mold pathogen *Botrytis cinerea*

The stock solutions were mixed according to the ratio, pipetted onto a micro titer plate (MTP) and diluted with water to the stated concentrations. A spore suspension of Botrytis cinerea in an aqueous biomalt solution was then added. The plates were placed in a water vapor-saturated chamber at a temperature of 18°C. Using an absorption photometer, the MTPs were measured at 405 nm 7 days after the inoculation.
The measured parameters were compared to the growth of the active compound-free control variant (100%) and the fungus-free and active compound-free blank value to determine the relative growth in % of the pathogens in the respective active compounds.

Compound	Structure	Appln. Rate [ppm]	Fungal growth [%]
# 28 (acc. to D1 = US 4,617,303)		125	96
# 4 (acc. to D1 = US 4,617,303)		125	91
Tab. I; # I-2 acc. to to current inv. US 10/589,876 **(elected species)**		125	72

Example 8 - Activity against the late blight pathogen *Phytophthora infestans*

The stock solutions were mixed according to the ratio, pipetted onto a micro titer plate (MTP) and diluted with water to the stated concentrations. A spore suspension of Septoria glycines in an aqueous biomalt solution was then added. The plates were placed in a water vapor-saturated chamber at a temperature of 18°C. Using an absorption photometer, the MTPs were measured at 405 nm 7 days after the inoculation.
The measured parameters were compared to the growth of the active compound-free control variant (100%) and the fungus-free and active compound-free blank value to determine the relative growth in % of the pathogens in the respective active compounds.

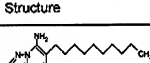
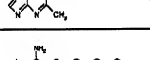
Compound	Structure	Appln. Rate [ppm]	Fungal growth [%]
# 28 (acc. to D1 = US 4,617,303)		2	87
Tab. I; # I-2 acc. to to current inv. US 10/589,876 (elected species)		2	67

II. Glass house trials

The spray solutions were prepared in several steps:
The stock solution were prepared: a mixture of acetone and/or dimethylsulfoxide and the wetting agent/emulsifier Wettol, which is based on ethoxylated alkylphenoles, in a relation (volume) solvent-emulsifier of 99 to 1 was added to 25 mg of the compound to give a total of 10 ml. Water was then added to total volume of 100 ml. This stock solution was diluted with the described solvent-emulsifier-water mixture to the given concentration.

Example 9 - Curative control of soy bean rust on soy beans caused by *Phakopsora pachyrhizi*

Leaves of pot-grown soy bean seedlings were inoculated with spores of Phakopsora pachyrhizi. To ensure the success of the artificial inoculation, the plants were transferred to a humid chamber with a relative humidity of about 95% and 20 to 24°C for 24 h. Then the plants were cultivated for 3 days in a greenhouse chamber at 23 to 27°C and a relative humidity between 60 and 80%. Then the plants were sprayed to run-off with the prepared stock solutions. The plants were allowed to air-dry. Then the trial plants were cultivated again for 12 days in a greenhouse chamber at 23-27°C and a relative humidity between 60 and 80 %. The extent of fungal attack on the leaves was visually assessed as % diseased leaf area.

Compound	Structure	Appln. Rate [ppm]	Diseased leaf area [%]
# 28 (acc. to D1 = US 4,617,303)		63	75
Tab. I; # I-2 acc. to to current inv. US 10/589,876 **(elected species)**		63	20
control (untreated)		0	90

These unexpected tests results show that in all cases the efficacy of the compound (here elected species) according to the current invention is significantly higher than the efficacy of structurally closely related compounds according to the prior art document US 4,617,303 (Eicken et al.). In addition, unexpectedly the structural changes broadened the spectrum of activity against beyond species from the class of Phycomycetes (such as *Phytophthora infestans* and *Plasmopara viticola*) belonging to the evolutionary division of Zygomycota to all other relevant fungal pathogens (such as *Septoria* spp., *Botrytis* spp., *Phakopsora* spp. and *Pyrenophora* spp. tested herein) which belong to the sub-kingdom Dikarya which may also be called "Higher Fungi", including the major phyla Ascomycetes and Basidiomycetes.

I further declare that all statements made herein of my own knowledge are true and that all statements made on information or belief are believed to be true; and further that these statements are made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issuing thereon.

Signed at 67056 Ludwigshafen, Germany, this 10 day of September, 2009.

Signature of Declarant